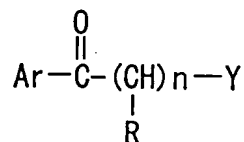


## CLAIMS

1. An agent for improving excretory potency of the urinary bladder which comprises an amine compound of non-carbamate-type having an acetylcholinesterase-inhibiting action.

2. An agent according to claim 1, wherein the amine compound is a non-carbamate-type compound of the formula:



wherein Ar is optionally condensed phenyl in which the phenyl moiety may be substituted by a substituent or substituents;

n is an integer of 1 to 10;

R is hydrogen or optionally substituted hydrocarbon group;

Y is optionally substituted amino or optionally substituted nitrogen-containing saturated heterocyclic group;

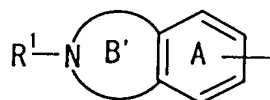
or a salt thereof.

3. An agent according to claim 2, wherein Ar is phenyl which may be substituted by 1 to 4 substituents selected from (i) optionally halogenated lower alkyl, (ii)

halogen, (iii) lower alkylenedioxy, (iv) nitro, (v) cyano,  
 (vi) hydroxy, (vii) optionally halogenated lower alkoxy,  
 (viii) cycloalkyl, (ix) optionally halogenated lower  
 alkylthio, (x) amino, (xi) mono-lower alkylamino, (xii) di-  
 5 lower alkylamino, (xiii) 5- to 7-membered cyclic amino,  
 (xiv) lower alkyl-carbonylamino, (xv) lower alkyl-  
 sulfonylamino, (xvi) lower alkoxy-carbonyl, (xvii) carboxy,  
 (xviii) lower alkyl-carbonyl, (xix) cycloalkyl-carbonyl,  
 (xx) carbamoyl, thiocarbamoyl, (xxi) mono-lower alkyl-  
 10 carbamoyl, (xxii) di-lower alkyl-carbamoyl, (xxiii) lower  
 alkylsulfonyl, (xxiv) cycloalkylsulfonyl, (xxv) phenyl,  
 (xxvi) naphthyl, (xxvii) mono-phenyl-lower alkyl, (xxviii)  
 di-phenyl-lower alkyl, (xxix) mono-phenyl-lower alkyl-  
 carbonyloxy, (xxx) di-phenyl-lower alkyl-carbonyloxy,  
 15 (xxxi) phenoxy, (xxxii) mono-phenyl-lower alkyl-carbonyl,  
 (xxxiii) di-phenyl-lower alkyl-carbonyl, (xxxiv) benzoyl,  
 (xxxv) phenoxycarbonyl, (xxxvi) phenyl-lower alkyl-  
 carbamoyl, (xxxvii) phenylcarbamoyl, (xxxviii) phenyl-lower  
 alkyl-carbonylamino, (xxxix) phenyl-lower alkylamino,  
 20 (xxxx) phenyl-lower alkylsulfonyl, (xxxxi) phenylsulfonyl,  
 (xxxxii) phenyl-lower alkylsulfinyl, (xxxxiii) phenyl-lower  
 alkylsulfonyl-amino, and (xxxxiv) phenylsulfonylamino  
 (wherein the phenyl, naphthyl, mono-phenyl-lower alkyl, di-  
 phenyl-lower alkyl, mono-phenyl-lower alkyl-carbonyloxy,  
 25 di-phenyl-lower alkyl-carbonyloxy, phenoxy, mono-phenyl-

lower alkyl-carbonyl, di-phenyl-lower alkyl-carbonyl, benzoyl, phenoxy-carbonyl, phenyl-lower alkyl-carbamoyl, phenylcarbamoyl, phenyl-lower alkyl-carbonylamino, phenyl-lower alkylamino, phenyl-lower alkylsulfonyl, phenylsulfonyl, phenyl-lower alkylsulfinyl, phenyl-lower alkylsulfonylamino and phenylsulfonylamino as mentioned above in (xxv) to (xxxxiv) may further be substituted by 1 to 4 substituents selected from lower alkyl, lower alkoxy, halogen, hydroxy, benzyloxy, amino, mono-lower alkylamino, di-lower alkylamino, nitro, lower alkyl-carbonyl and benzoyl).

4. An agent according to claim 2, wherein Ar is a group of the formula:



wherein R<sup>1</sup> is hydrogen, optionally substituted hydrocarbon group, acyl, or optionally substituted heterocyclic group; the ring A is an optionally substituted benzene ring; the ring B' is a 5- to 9-membered nitrogen-containing heterocycle which may further be substituted by oxo.

5. An agent according to claim 4, wherein R<sup>1</sup> is  
 (I) hydrogen;  
 (II) alkyl, alkenyl, alkynyl, cycloalkyl, crosslinked cyclic lower saturated hydrocarbon group, aryl, aralkyl, aryl-alkenyl, aryl-C<sub>2-12</sub> alkynyl, cycloalkyl-alkyl or aryl-

aryl- $C_{1-10}$  alkyl which may be substituted by 1 to 5  
 substituents selected from (i) halogen, (ii) nitro, (iii)  
 cyano, (iv) oxo, (v) hydroxy, (vi) optionally halogenated  
 lower alkyl, (vii) optionally halogenated lower alkoxy,  
 5 (viii) optionally halogenated lower alkylthio, (ix) amino,  
 (x) mono-lower alkylamino, (xi) di-lower alkylamino, (xii)  
 5- to 7-membered cyclic amino which may contain 1 to 3  
 heteroatoms selected from nitrogen, oxygen and sulfur in  
 addition to carbon atoms and one nitrogen atom, (xiii)  
 10 lower alkyl-carbonylamino, (xiv) lower alkyl-sulfonylamino,  
 (xv) lower alkoxy-carbonyl, (xvi) carboxy, (xvii) lower  
 alkyl-carbonyl, (xviii) carbamoyl, thiocarbamoyl, (xix)  
 mono-lower alkyl-carbamoyl, (xx) di-lower alkyl-carbamoyl,  
 (xxi) lower alkylsulfonyl, (xxii) lower alkoxy-carbonyl-  
 15 lower alkyl, (xxiii) carboxy-lower alkyl, (xxiv) 5- to 14-  
 membered heterocyclic group which contains 1 to 6  
 heteroatoms selected from nitrogen, oxygen and sulfur and  
 which may be substituted by 1 to 5 substituents selected  
 from (1) halogen, (2) nitro, (3) cyano, (4) oxo, (5)  
 20 hydroxy, (6) lower alkyl, (7) lower alkoxy, (8) lower  
 alkylthio, (9) amino, (10) mono-lower alkylamino, (11) di-  
 lower alkylamino, (12) 5- to 7-membered cyclic amino which  
 may contain 1 to 3 heteroatoms selected from nitrogen,  
 oxygen and sulfur in addition to carbon atoms and one  
 25 nitrogen atom, (13) lower alkyl-carbonylamino, (14) lower

alkylsulfonylamino, (15) lower alkoxy-carbonyl, (16) carboxy, (17) lower alkyl-carbonyl, (18) carbamoyl, thiocarbamoyl, (19) mono-lower alkylcarbamoyl, (20) di-lower alkyl-carbamoyl, and (21) lower alkylsulfonyl, (xxv) C<sub>6-14</sub> aryl, (xxvi) C<sub>7-16</sub> aralkyl, (xxvii) ureido, 3-methylureido, 3-ethylureido, 3-phenylureido, 3-(4-fluorophenyl)ureido, 3-(2-methylphenyl)ureido, 3-(4-methoxyphenyl)ureido, 3-(2,4-difluorophenyl)ureido, 3-[3,5-bis(trifluoromethyl)phenyl]ureido, 3-benzylureido, 3-(1-naphthyl)ureido, or 3-(2-biphenyl)ureido, (xxviii) thioureido, 3-methylthioureido, 3-ethylthioureido, 3-phenylthioureido, 3-(4-fluorophenyl)thioureido, 3-(4-methylphenyl)thioureido, 3-(4-methoxyphenyl)thioureido, 3-(2,4-dichlorophenyl)thioureido, 3-benzylthioureido, or 3-(1-naphthyl)thioureido, (xxix) amidino, N<sup>1</sup>-methyramidino, N<sup>1</sup>-ethyramidino, N<sup>1</sup>-phenyramidino, N<sup>1</sup>,N<sup>1</sup>-dimethyramidino, N<sup>1</sup>,N<sup>2</sup>-dimethyramidino, N<sup>1</sup>-methyl-N<sup>1</sup>-ethyramidino, N<sup>1</sup>,N<sup>1</sup>-diethyramidino, N<sup>1</sup>-methyl-N<sup>1</sup>-phenyramidino, or N<sup>1</sup>,N<sup>1</sup>-di(4-nitrophenyl)amidino, (xxx) guanidino, 3-methylguanidino, 3,3-dimethylguanidino, or 3,3-diethylguanidino, (xxxi) pyrrolidinocarbonyl, piperidinocarbonyl, (4-methylpiperidino)carbonyl, (4-phenylpiperidino)carbonyl, (4-benzylpiperidino)carbonyl, (4-benzoylpiperidino)carbonyl, [4-(4-fluorobenzoyl)piperidino]carbonyl, (4-methylpiperazino)carbonyl, (4-phenylpiperazino)carbonyl,

[4-(4-nitrophenyl)piperazino]carbonyl, (4-benzylpiperazino)carbonyl, morpholinocarbonyl, or thiomorpholinocarbonyl, (xxxii) aminothiocabonyl, methylaminothiocabonyl, or dimethylaminothiocabonyl, (xxxiii) aminosulfonyl, methylaminosulfonyl, or dimethylaminosulfonyl, (xxxiv) phenylsulfonylamino, (4-methylphenyl)sulfonylamino, (4-chlorophenyl)sulfonylamino, (2,5-dichlorophenyl)sulfonylamino, (4-methoxyphenyl)sulfonylamino, (4-acetylaminophenyl)sulfonylamino, or (4-nitrophenyl)phenylsulfonylamino, (xxxv) sulfo, (xxxvi) sulfino, (xxxvii) sulfeno, (xxxviii) lower alkylsulfo, (xxxix) lower alkylsulfino, (xxxx) lower alkylsulfeno, (xxxxi) phosphono, and (xxxxii) di-lower alkoxyphosphoryl;

(III) acyl of the formula:  $-(C=O)-R^2$ ,  $-(C=O)-OR^2$ ,  $-(C=O)-NR^2R^3$ ,  $-SO_2-R^2$ ,  $-SO-R^2$ ,  $-(C=S)-OR^2$  or  $-(C=S)NR^2R^3$  (wherein  $R^2$  and  $R^3$  each is [1] hydrogen, [2] alkyl, alkenyl, alkynyl, cycloalkyl, crosslinked cyclic lower saturated hydrocarbon group, aryl, aralkyl, aryl-alkenyl, aryl- $C_{2-12}$  alkynyl, cycloalkyl-alkyl or aryl-aryl- $C_{1-10}$  alkyl which may be substituted by 1 to 5 substituents selected from (i) halogen, (ii) nitro, (iii) cyano, (iv) oxo, (v) hydroxy, (vi) optionally halogenated lower alkyl, (vii) optionally halogenated lower alkoxy, (viii) optionally halogenated lower alkylthio, (ix) amino, (x) mono-lower alkylamino,

(xi) di-lower alkylamino, (xii) 5- to 7-membered cyclic amino which may contain 1 to 3 heteroatoms selected from nitrogen, oxygen and sulfur in addition to carbon atoms and one nitrogen atom, (xiii) lower alkyl-carbonylamino, (xiv) lower alkyl-sulfonylamino, (xv) lower alkoxy-carbonyl, (xvi) carboxy, (xvii) lower alkyl-carbonyl, (xviii) carbamoyl, thiocarbamoyl, (xix) mono-lower alkyl-carbamoyl, (xx) di-lower alkyl-carbamoyl, (xxi) lower alkylsulfonyl, (xxii) lower alkoxy-carbonyl-lower alkyl, (xxiii) carboxy-lower alkyl, (xxiv) 5- to 14-membered heterocyclic group which contains 1 to 6 heteroatoms selected from nitrogen, oxygen and sulfur and which may be substituted by 1 to 5 substituents selected from (1) halogen, (2) nitro, (3) cyano, (4) oxo, (5) hydroxy, (6) lower alkyl, (7) lower alkoxy, (8) lower alkylthio, (9) amino, (10) mono-lower alkylamino, (11) di-lower alkylamino, (12) 5- to 7-membered cyclic amino which may contain 1 to 3 heteroatoms selected from nitrogen, oxygen and sulfur in addition to carbon atoms and one nitrogen atom, (13) lower alkyl-carbonylamino, (14) lower alkylsulfonylamino, (15) lower alkoxy-carbonyl, (16) carboxy, (17) lower alkylcarbonyl, (18) carbamoyl, thiocarbamoyl, (19) mono-lower alkyl-carbamoyl, (20) di-lower alkyl-carbamoyl, and (21) lower alkylsulfonyl, (xxv) C<sub>6-14</sub> aryl, (xxvi) C<sub>7-16</sub> aralkyl, (xxvii) ureido, 3-methylureido, 3-ethylureido, 3-phenylureido, 3-(4-

fluorophenyl)ureido, 3-(2-methylphenyl)ureido, 3-(4-methoxyphenyl)ureido, 3-(2,4-difluorophenyl)ureido, 3-[3,5-bis(trifluoromethyl)phenyl]ureido, 3-benzylureido, 3-(1-naphthyl)ureido, or 3-(2-biphenyl)ureido, (xxviii)

5 thioureido, 3-methylthioureido, 3-ethylthioureido, 3-phenylthioureido, 3-(4-fluorophenyl)thioureido, 3-(4-methylphenyl)thioureido, 3-(4-methoxyphenyl)thioureido, 3-(2,4-dichlorophenyl)thioureido, 3-benzylthioureido, or 3-(1-naphthyl)thioureido, (xxix) amidino, N<sup>1</sup>-methyramidino,

10 N<sup>1</sup>-ethylamidino, N<sup>1</sup>-phenylamidino, N<sup>1</sup>,N<sup>1</sup>-dimethyramidino, N<sup>1</sup>,N<sup>2</sup>-dimethyramidino, N<sup>1</sup>-methyl-N<sup>1</sup>-ethylamidino, N<sup>1</sup>,N<sup>1</sup>-diethylamidino, N<sup>1</sup>-methyl-N<sup>1</sup>-phenylamidino, or N<sup>1</sup>,N<sup>1</sup>-di(4-nitrophenyl)amidino, (xxx) guanidino, 3-methylguanidino, 3,3-dimethylguanidino, or 3,3-diethylguanidino, (xxxi)

15 pyrrolidinocarbonyl, piperidinocarbonyl, (4-methylpiperidino)carbonyl, (4-phenylpiperidino)carbonyl, (4-benzylpiperidino)carbonyl, (4-benzoylpiperidino)carbonyl, [4-(4-fluorobenzoyl)piperidino]carbonyl, (4-methylpiperazino)carbonyl, (4-phenylpiperazino)carbonyl,

20 [4-(4-nitrophenyl)piperazino]carbonyl, (4-benzylpiperazino)carbonyl, morpholinocarbonyl, or thiomorpholinocarbonyl, (xxxii) aminothiocarbonyl, methylaminothiocarbonyl, or dimethylaminothiocarbonyl, (xxxiii) aminosulfonyl, methylaminosulfonyl, or

25 dimethylaminosulfonyl, (xxxiv) phenylsulfonylamino, (4-



methylphenyl)sulfonylamino, (4-chlorophenyl)sulfonylamino, (2,5-dichlorophenyl)sulfonylamino, (4-methoxyphenyl)sulfonylamino, (4-acetylamino-phenyl)sulfonylamino, or (4-nitrophenyl)phenylsulfonylamino, (xxxv) sulfo, (xxxvi) sulfino, (xxxvii) sulfeno, (xxxviii) lower alkylsulfo, (xxxix) lower alkylsulfino, (xxxx) lower alkylsulfeno, (xxxxi) phosphono, and (xxxxii) di-lower alkoxyphosphoryl; or

(IV) 5- to 14-membered heterocyclic group which contains 1 to 6 heteroatoms selected from nitrogen, oxygen and sulfur and which may be substituted by 1 to 5 substituents selected from (1) halogen, (2) nitro, (3) cyano, (4) oxo, (5) hydroxy, (6) lower alkyl, (7) lower alkoxy, (8) lower alkylthio, (9) amino, (10) mono-lower alkylamino, (11) di-lower alkylamino, (12) 5- to 7-membered cyclic amino which may contain 1 to 3 heteroatoms selected from nitrogen, oxygen and sulfur in addition to carbon atoms and one nitrogen atom, (13) lower alkyl-carbonylamino, (14) lower alkylsulfonylamino, (15) lower alkoxy-carbonyl, (16) carboxy, (17) lower alkyl-carbonyl, (18) carbamoyl, thiocarbamoyl, (19) mono-lower alkyl-carbamoyl, (20) di-lower alkyl-carbamoyl, and (21) lower alkylsulfonyl;

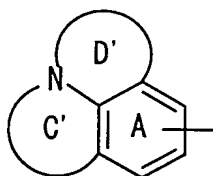
the ring A is a benzene ring which may be substituted by 1 to 3 substituents selected from (i)

optionally halogenated lower alkyl, (ii) halogen, (iii)  
 lower alkylenedioxy, (iv) nitro, (v) cyano, (vi) hydroxy,  
 (vii) optionally halogenated lower alkoxy, (viii)  
 cycloalkyl, (ix) optionally halogenated lower alkylthio,  
 5 (x) amino, (xi) mono-lower alkylamino, (xii) di-lower  
 alkylamino, (xiii) 5- to 7-membered cyclic amino, (xiv)  
 lower alkyl-carbonylamino, (xv) lower alkyl-sulfonylamino,  
 (xvi) lower alkoxy-carbonyl, (xvii) carboxy, (xviii) lower  
 alkyl-carbonyl, (xix) cycloalkyl-carbonyl, (xx) carbamoyl,  
 10 thiocarbamoyl, (xxi) mono-lower alkyl-carbamoyl, (xxii) di-  
 lower alkyl-carbamoyl, (xxiii) lower alkylsulfonyl, (xxiv)  
 cycloalkylsulfonyl, (xxv) phenyl, (xxvi) naphthyl, (xxvii)  
 mono-phenyl-lower alkyl, (xxviii) di-phenyl-lower alkyl,  
 (xxix) mono-phenyl-lower alkyl-carbonyloxy, (xxx) di-  
 15 phenyl-lower alkyl-carbonyloxy, (xxxi) phenoxy, (xxxii)  
 mono-phenyl-lower alkyl-carbonyl, (xxxiii) di-phenyl-lower  
 alkyl-carbonyl, (xxxiv) benzoyl, (xxxv) phenoxycarbonyl,  
 (xxxvi) phenyl-lower alkyl-carbamoyl, (xxxvii)  
 phenylcarbamoyl, (xxxviii) phenyl-lower alkyl-carbonylamino,  
 20 (xxxix) phenyl-lower alkylamino, (xxxx) phenyl-lower  
 alkylsulfonyl, (xxxxi) phenylsulfonyl, (xxxxii) phenyl-  
 lower alkylsulfinyl, (xxxxiii) phenyl-lower  
 alkylsulfonylamino, and (xxxxiv) phenylsulfonylamino  
 (wherein the phenyl, naphthyl, mono-phenyl-lower alkyl, di-  
 25 phenyl-lower alkyl, mono-phenyl-lower alkyl-carbonyloxy,

di-phenyl-lower alkyl-carbonyloxy, phenoxy, mono-phenyl-lower alkyl-carbonyl, di-phenyl-lower alkyl-carbonyl, benzoyl, phenoxycarbonyl, phenyl-lower alkyl-carbamoyl, phenylcarbamoyl, phenyl-lower alkyl-carbonylamino, phenyl-lower alkylamino, phenyl-lower alkylsulfonyl, phenylsulfonyl, phenyl-lower alkylsulfinyl, phenyl-lower alkylsulfonylamino and phenylsulfonylamino as mentioned above in (xxv) to (xxxxiv) may further be substituted by 1 to 4 substituents selected from lower alkyl, lower alkoxy, halogen, hydroxy, benzyloxy, amino, mono-lower alkylamino, di-lower alkylamino, nitro, lower alkyl-carbonyl and benzoyl); and

the ring B' is 5- to 9-membered nitrogen-containing heterocycle which may further be substituted by oxo and which may contain 1 to 3 heteroatoms selected from nitrogen, oxygen and sulfur in addition to carbon atoms and one nitrogen atom.

6. An agent according to claim 2, wherein Ar is a group of the formula:



wherein the ring A is an optionally substituted benzene ring; the rings C' and D' each is a 5- to 9-membered

nitrogen-containing heterocycle which may further be substituted by oxo.

7. An agent according to claim 6, wherein the ring A is a benzene ring which may be substituted by 1 or 2 substituents selected from (i) optionally halogenated lower alkyl, (ii) halogen, (iii) lower alkylenedioxy, (iv) nitro, (v) cyano, (vi) hydroxy, (vii) optionally halogenated lower alkoxy, (viii) cycloalkyl, (ix) optionally halogenated lower alkylthio, (x) amino, (xi) mono-lower alkylamino, (xii) di-lower alkylamino, (xiii) 5- to 7-membered cyclic amino, (xiv) lower alkyl-carbonylamino, (xv) lower alkyl-sulfonylamino, (xvi) lower alkoxy-carbonyl, (xvii) carboxy, (xviii) lower alkyl-carbonyl, (xix) cycloalkyl-carbonyl, (xx) carbamoyl, thiocarbamoyl, (xxi) mono-lower alkyl-carbamoyl, (xxii) di-lower alkyl-carbamoyl, (xxiii) lower alkylsulfonyl, (xxiv) cycloalkylsulfonyl, (xxv) phenyl, (xxvi) naphthyl, (xxvii) mono-phenyl-lower alkyl, (xxviii) di-phenyl-lower alkyl, (xxix) mono-phenyl-lower alkyl-carbonyloxy, (xxx) di-phenyl-lower alkyl-carbonyloxy, (xxxi) phenoxy, (xxxii) mono-phenyl-lower alkyl-carbonyl, (xxxiii) di-phenyl-lower alkyl-carbonyl, (xxxiv) benzoyl, (xxxv) phenoxycarbonyl, (xxxvi) phenyl-lower alkyl-carbamoyl, (xxxvii) phenylcarbamoyl, (xxxviii) phenyl-lower alkyl-carbonylamino, (xxxix) phenyl-lower alkylamino, (xxxx) phenyl-lower alkylsulfonyl, (xxxxi) phenylsulfonyl,

(xxxxii) phenyl-lower alkylsulfinyl, (xxxxiii) phenyl-lower alkylsulfonylamino, and (xxxxiv) phenylsulfonylamino (wherein the phenyl, naphthyl, mono-phenyl-lower alkyl, di-phenyl-lower alkyl, mono-phenyl-lower alkyl-carbonyloxy, di-phenyl-lower alkyl-carbonyloxy, phenoxy, mono-phenyl-lower alkyl-carbonyl, di-phenyl-lower alkyl-carbonyl, benzoyl, phenoxycarbonyl, phenyl-lower alkyl-carbamoyl, phenylcarbamoyl, phenyl-lower alkyl-carbonylamino, phenyl-lower alkylamino, phenyl-lower alkylsulfonyl, phenylsulfonyl, phenyl-lower alkylsulfinyl, phenyl-lower alkylsulfonylamino and phenylsulfonylamino as mentioned above in (xxv) to (xxxxiv) may further be substituted by 1 to 4 substituents selected from lower alkyl, lower alkoxy, halogen, hydroxy, benzyloxy, amino, mono-lower alkylamino, di-lower alkylamino, nitro, lower alkyl-carbonyl and benzoyl); and

the rings C' and D' each is a 5- to 9-membered nitrogen-containing heterocycle which may further be substituted by oxo and which may contain 1 to 3 heteroatoms selected from nitrogen, oxygen and sulfur in addition to carbon atoms and one nitrogen atom.

8. An agent according to claim 2, wherein n is 2.

9. An agent according to claim 2, wherein R is (I) hydrogen or

(II) alkyl, alkenyl, alkynyl, cycloalkyl, crosslinked cyclic lower saturated hydrocarbon group, aryl, aralkyl, aryl-alkenyl, aryl-C<sub>2-12</sub> alkynyl, cycloalkyl-alkyl or aryl-aryl-C<sub>1-10</sub> alkyl which may be substituted by 1 to 5

5 substituents selected from (i) halogen, (ii) nitro, (iii) cyano, (iv) oxo, (v) hydroxy, (vi) optionally halogenated lower alkyl, (vii) optionally halogenated lower alkoxy, (viii) optionally halogenated lower alkylthio, (ix) amino, (x) mono-lower alkylamino, (xi) di-lower alkylamino, (xii)

10 5- to 7-membered cyclic amino which may contain 1 to 3 heteroatoms selected from nitrogen, oxygen and sulfur in addition to carbon atoms and one nitrogen atom, (xiii) lower alkyl-carbonylamino, (xiv) lower alkyl-sulfonylamino, (xv) lower alkoxy-carbonyl, (xvi) carboxy, (xvii) lower

15 alkyl-carbonyl, (xviii) carbamoyl, thiocarbamoyl, (xix) mono-lower alkyl-carbamoyl, (xx) di-lower alkyl-carbamoyl, (xxi) lower alkylsulfonyl, (xxii) lower alkoxy-carbonyl-lower alkyl, (xxiii) carboxy-lower alkyl, (xxiv) 5- to 14-membered heterocyclic group which contains 1 to 6

20 heteroatoms selected from nitrogen, oxygen and sulfur and which may be substituted by 1 to 5 substituents selected from (1) halogen, (2) nitro, (3) cyano, (4) oxo, (5) hydroxy, (6) lower alkyl, (7) lower alkoxy, (8) lower alkylthio, (9) amino, (10) mono-lower alkylamino, (11) di-

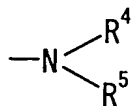
25 lower alkylamino, (12) 5- to 7-membered cyclic amino which

may contain 1 to 3 heteroatoms selected from nitrogen, oxygen and sulfur in addition to carbon atoms and one nitrogen atom, (13) lower alkyl-carbonylamino, (14) lower alkylsulfonylamino, (15) lower alkoxy-carbonyl, (16) carboxy, (17) lower alkyl-carbonyl, (18) carbamoyl, thiocarbamoyl, (19) mono-lower alkyl-carbamoyl, (20) di-lower alkyl-carbamoyl, and (21) lower alkylsulfonyl, (xxv) C<sub>6-14</sub> aryl, (xxvi) C<sub>7-16</sub> aralkyl, (xxvii) ureido, 3-methylureido, 3-ethylureido, 3-phenylureido, 3-(4-fluorophenyl)ureido, 3-(2-methylphenyl)ureido, 3-(4-methoxyphenyl)ureido, 3-(2,4-difluorophenyl)ureido, 3-[3,5-bis(trifluoromethyl)phenyl]ureido, 3-benzylureido, 3-(1-naphthyl)ureido, or 3-(2-biphenyl)ureido, (xxviii) thioureido, 3-methylthioureido, 3-ethylthioureido, 3-phenylthioureido, 3-(4-fluorophenyl)thioureido, 3-(4-methylphenyl)thioureido, 3-(4-methoxyphenyl)thioureido, 3-(2,4-dichlorophenyl)thioureido, 3-benzylthioureido, or 3-(1-naphthyl)thioureido, (xxix) amidino, N<sup>1</sup>-methyamidino, N<sup>1</sup>-ethyamidino, N<sup>1</sup>-phenylamidino, N<sup>1</sup>,N<sup>1</sup>-dimethyamidino, N<sup>1</sup>,N<sup>2</sup>-dimethyamidino, N<sup>1</sup>-methyl-N<sup>1</sup>-ethyamidino, N<sup>1</sup>,N<sup>1</sup>-diethyamidino, N<sup>1</sup>-methyl-N<sup>1</sup>-phenylamidino, or N<sup>1</sup>,N<sup>1</sup>-di(4-nitrophenyl)amidino, (xxx) guanidino, 3-methyl-guanidino, 3,3-dimethylguanidino, or 3,3-diethylguanidino, (xxxi) pyrrolidinocarbonyl, piperidinocarbonyl, (4-methyl-piperidino)carbonyl, (4-phenylpiperidino)carbonyl, (4-

benzylpiperidino)carbonyl, (4-benzoylpiperidino)carbonyl,  
 [4-(4-fluorobenzoyl)piperidino]carbonyl, (4-methyl-  
 piperazino)carbonyl, (4-phenylpiperazino)carbonyl, [4-(4-  
 nitrophenyl)piperazino]carbonyl, (4-benzylpiperazino)-  
 5 carbonyl, morpholinocarbonyl, or thiomorpholinocarbonyl,  
 (xxxii) aminothiocabonyl, methylaminothiocabonyl, or  
 dimethylaminothiocabonyl, (xxxiii) aminosulfonyl, methyl-  
 aminosulfonyl, or dimethylaminosulfonyl, (xxxiv) phenyl-  
 sulfonylamino, (4-methylphenyl)sulfonylamino, (4-chloro-  
 10 phenyl)sulfonylamino, (2,5-dichlorophenyl)sulfonylamino,  
 (4-methoxyphenyl)sulfonylamino, (4-acetylaminophenyl)-  
 sulfonylamino, or (4-nitrophenyl)phenylsulfonylamino,  
 (xxxv) sulfo, (xxxvi) sulfino, (xxxvii) sulfeno, (xxxviii)  
 lower alkylsulfo, (xxxix) lower alkylsulfino, (xxxx) lower  
 15 alkylsulfeno, (xxxxi) phosphono, and (xxxxii) di-lower  
 alkoxyphosphoryl.

10. An agent according to claim 2, wherein R is  
 hydrogen.

11. An agent according to claim 2, wherein Y is:  
 20 (A) a group of the formula:



wherein R<sup>4</sup> and R<sup>5</sup> each is (I) hydrogen,  
 (II) alkyl, alkenyl, alkynyl, cycloalkyl, crosslinked  
 cyclic lower saturated hydrocarbon group, aryl, aralkyl,



aryl-alkenyl, aryl-C<sub>2-12</sub> alkynyl, cycloalkyl-alkyl or aryl-  
aryl-C<sub>1-10</sub> alkyl which may be substituted by 1 to 5  
substituents selected from (i) halogen, (ii) nitro, (iii)  
cyano, (iv) oxo, (v) hydroxy, (vi) optionally halogenated  
5 lower alkyl, (vii) optionally halogenated lower alkoxy,  
(viii) optionally halogenated lower alkylthio, (ix) amino,  
(x) mono-lower alkylamino, (xi) di-lower alkylamino, (xii)  
5- to 7-membered cyclic amino which may contain 1 to 3  
heteroatoms selected from nitrogen, oxygen and sulfur in  
10 addition to carbon atoms and one nitrogen atom, (xiii)  
lower alkyl-carbonylamino, (xiv) lower alkyl-sulfonylamino,  
(xv) lower alkoxy-carbonyl, (xvi) carboxy, (xvii) lower  
alkyl-carbonyl, (xviii) carbamoyl, thiocarbamoyl, (xix)  
mono-lower alkyl-carbamoyl, (xx) di-lower alkyl-carbamoyl,  
15 (xxi) lower alkylsulfonyl, (xxii) lower alkoxy-carbonyl-  
lower alkyl, (xxiii) carboxy-lower alkyl, (xxiv) 5- to 14-  
membered heterocyclic group which contains 1 to 6  
heteroatoms selected from nitrogen, oxygen and sulfur and  
which may be substituted by 1 to 5 substituents selected  
20 from (1) halogen, (2) nitro, (3) cyano, (4) oxo, (5)  
hydroxy, (6) lower alkyl, (7) lower alkoxy, (8) lower  
alkylthio, (9) amino, (10) mono-lower alkylamino, (11) di-  
lower alkylamino, (12) 5- to 7-membered cyclic amino which  
may contain 1 to 3 heteroatoms selected from nitrogen,  
25 oxygen and sulfur in addition to carbon atoms and one

nitrogen atom, (13) lower alkyl-carbonylamino, (14) lower alkylsulfonylamino, (15) lower alkoxy-carbonyl, (16) carboxy, (17) lower alkyl-carbonyl, (18) carbamoyl, thiocarbamoyl, (19) mono-lower alkyl-carbamoyl, (20) di-lower alkyl-carbamoyl, and (21) lower alkylsulfonyl, (xxv) C<sub>6-14</sub> aryl, (xxvi) C<sub>7-16</sub> aralkyl, (xxvii) ureido, 3-methylureido, 3-ethylureido, 3-phenylureido, 3-(4-fluorophenyl)ureido, 3-(2-methylphenyl)ureido, 3-(4-methoxyphenyl)ureido, 3-(2,4-difluorophenyl)ureido, 3-[3,5-bis(trifluoromethyl)phenyl]ureido, 3-benzylureido, 3-(1-naphthyl)ureido, or 3-(2-biphenyl)ureido, (xxviii) thioureido, 3-methylthioureido, 3-ethylthioureido, 3-phenylthioureido, 3-(4-fluorophenyl)thioureido, 3-(4-methylphenyl)thioureido, 3-(4-methoxyphenyl)thioureido, 3-(2,4-dichlorophenyl)thioureido, 3-benzylthioureido, or 3-(1-naphthyl)thioureido, (xxix) amidino, N<sup>1</sup>-methyramidino, N<sup>1</sup>-ethyramidino, N<sup>1</sup>-phenylamidino, N<sup>1</sup>,N<sup>1</sup>-dimethyramidino, N<sup>1</sup>,N<sup>2</sup>-dimethyramidino, N<sup>1</sup>-methyl-N<sup>1</sup>-ethyramidino, N<sup>1</sup>,N<sup>1</sup>-diethyramidino, N<sup>1</sup>-methyl-N<sup>1</sup>-phenylamidino, or N<sup>1</sup>,N<sup>1</sup>-di(4-nitrophenyl)amidino, (xxx) guanidino, 3-methyl-guanidino, 3,3-dimethylguanidino, or 3,3-diethylguanidino, (xxxi) pyrrolidinocarbonyl, piperidinocarbonyl, (4-methylpiperidino)carbonyl, (4-phenylpiperidino)carbonyl, (4-benzylpiperidino)carbonyl, (4-benzoylpiperidino)carbonyl, [4-(4-fluorobenzoyl)piperidino]carbonyl, (4-

methylpiperazino)carbonyl, (4-phenylpiperazino)carbonyl,  
 [4-(4-nitrophenyl)piperazino]carbonyl, (4-  
 benzylpiperazino)carbonyl, morpholinocarbonyl, or  
 thiomorpholinocarbonyl, (xxxii) aminothiocabonyl,  
 5 methylaminothiocabonyl, or dimethylaminothiocabonyl,  
 (xxxiii) aminosulfonyl, methylaminosulfonyl, or  
 dimethylaminosulfonyl, (xxxiv) phenylsulfonylamino, (4-  
 methylphenyl)sulfonylamino, (4-chlorophenyl)sulfonylamino,  
 (2,5-dichlorophenyl)sulfonylamino, (4-  
 10 methoxyphenyl)sulfonylamino, (4-  
 acetylaminophenyl)sulfonylamino, or (4-  
 nitrophenyl)phenylsulfonylamino, (xxxv) sulfo, (xxxvi)  
 sulfino, (xxxvii) sulfeno, (xxxviii) lower alkylsulfo,  
 (xxxix) lower alkylsulfino, (xxxx) lower alkylsulfeno,  
 15 (xxxxi) phosphono, and (xxxxii) di-lower alkoxyphosphoryl;  
 (III) acyl of the formula:  $-(C=O)-R^2$ ,  $-(C=O)-OR^2$ ,  $-(C=O)-$   
 $NR^2R^3$ ,  $-SO_2-R^2$ ,  $-SO-R^2$ ,  $-(C=S)-OR^2$  or  $-(C=S)NR^2R^3$  (wherein  $R^2$   
 and  $R^3$  each is [1] hydrogen, [2] alkyl, alkenyl, alkynyl,  
 cycloalkyl, crosslinked cyclic lower saturated hydrocarbon  
 20 group, aryl, aralkyl, aryl-alkenyl, aryl- $C_{2-12}$  alkynyl,  
 cycloalkyl-alkyl or aryl-aryl- $C_{1-10}$  alkyl which may be  
 substituted by 1 to 5 substituents selected from (i)  
 halogen, (ii) nitro, (iii) cyano, (iv) oxo, (v) hydroxy,  
 (vi) optionally halogenated lower alkyl, (vii) optionally  
 25 halogenated lower alkoxy, (viii) optionally halogenated

lower alkylthio, (ix) amino, (x) mono-lower alkylamino,  
 (xi) di-lower alkylamino, (xii) 5- to 7-membered cyclic  
 amino which may contain 1 to 3 heteroatoms selected from  
 nitrogen, oxygen and sulfur in addition to carbon atoms and  
 5 one nitrogen atom, (xiii) lower alkyl-carbonylamino, (xiv)  
 lower alkyl-sulfonylamino, (xv) lower alkoxy-carbonyl,  
 (xvi) carboxy, (xvii) lower alkyl-carbonyl, (xviii)  
 carbamoyl, thiocarbamoyl, (xix) mono-lower alkyl-carbamoyl,  
 (xx) di-lower alkyl-carbamoyl, (xxi) lower alkylsulfonyl,  
 10 (xxii) lower alkoxy-carbonyl-lower alkyl, (xxiii) carboxy-  
 lower alkyl, (xxiv) 5- to 14-membered heterocyclic group  
 which contains 1 to 6 heteroatoms selected from nitrogen,  
 oxygen and sulfur and which may be substituted by 1 to 5  
 substituents selected from (1) halogen, (2) nitro, (3)  
 15 cyano, (4) oxo, (5) hydroxy, (6) lower alkyl, (7) lower  
 alkoxy, (8) lower alkylthio, (9) amino, (10) mono-lower  
 alkylamino, (11) di-lower alkylamino, (12) 5- to 7-membered  
 cyclic amino which may contain 1 to 3 heteroatoms selected  
 from nitrogen, oxygen and sulfur in addition to carbon  
 20 atoms and one nitrogen atom, (13) lower alkyl-carbonylamino,  
 (14) lower alkylsulfonylamino, (15) lower alkoxy-carbonyl,  
 (16) carboxy, (17) lower alkyl-carbonyl, (18) carbamoyl,  
 thiocarbamoyl, (19) mono-lower alkyl-carbamoyl, (20) di-  
 lower alkyl-carbamoyl, and (21) lower alkylsulfonyl, (xxv)  
 25 C<sub>6-14</sub> aryl, (xxvi) C<sub>7-16</sub> aralkyl, (xxvii) ureido, 3-

methylureido, 3-ethylureido, 3-phenylureido, 3-(4-  
 fluorophenyl)ureido, 3-(2-methylphenyl)ureido, 3-(4-  
 methoxyphenyl)ureido, 3-(2,4-difluorophenyl)ureido, 3-[3,5-  
 bis(trifluoromethyl)phenyl]ureido, 3-benzylureido, 3-(1-  
 5 naphthyl)ureido, or 3-(2-biphenyl)ureido, .(xxviii)  
 thioureido, 3-methylthioureido, 3-ethylthioureido, 3-  
 phenylthioureido, 3-(4-fluorophenyl)thioureido, 3-(4-  
 methylphenyl)thioureido, 3-(4-methoxyphenyl)thioureido, 3-  
 (2,4-dichlorophenyl)thioureido, 3-benzylthioureido, or 3-  
 10 (1-naphthyl)thioureido, (xxix) amidino, N<sup>1</sup>-methyramidino,  
 N<sup>1</sup>-ethyramidino, N<sup>1</sup>-phenylamidino, N<sup>1</sup>,N<sup>1</sup>-dimethyramidino,  
 N<sup>1</sup>,N<sup>2</sup>-dimethyramidino, N<sup>1</sup>-methyl-N<sup>1</sup>-ethyramidino, N<sup>1</sup>,N<sup>1</sup>-  
 diethyramidino, N<sup>1</sup>-methyl-N<sup>1</sup>-phenylamidino, or N<sup>1</sup>,N<sup>1</sup>-di(4-  
 nitrophenyl)amidino, (xxx) guanidino, 3-methylguanidino,  
 15 3,3-dimethylguanidino, or 3,3-diethylguanidino, (xxxi)  
 pyrrolidinocarbonyl, piperidinocarbonyl, (4-methyl-  
 piperidino)carbonyl, (4-phenylpiperidino)carbonyl, (4-  
 benzylpiperidino)carbonyl, (4-benzoylpiperidino)carbonyl,  
 [4-(4-fluorobenzoyl)piperidino]carbonyl, (4-  
 20 methylpiperazino)carbonyl, (4-phenylpiperazino)carbonyl,  
 [4-(4-nitrophenyl)piperazino]carbonyl, (4-  
 benzylpiperazino)carbonyl, morpholinocarbonyl, or  
 thiomorpholinocarbonyl, (xxxii) aminothiocarbonyl,  
 methylaminothiocarbonyl, or dimethylaminothiocarbonyl,  
 25 (xxxiii) aminosulfonyl, methylaminosulfonyl, or

dimethylaminosulfonyl, (xxxiv) phenylsulfonylamino, (4-  
 methylphenyl)sulfonylamino, (4-chlorophenyl)sulfonylamino,  
 (2,5-dichlorophenyl)sulfonylamino, (4-  
 methoxyphenyl)sulfonylamino, (4-  
 5 acetylamino)phenyl)sulfonylamino, or (4-  
 nitrophenyl)phenylsulfonylamino, (xxxv) sulfo, (xxxvi)  
 sulfino, (xxxvii) sulfeno, (xxxviii) lower alkylsulfo,  
 (xxxix) lower alkylsulfino, (xxxx) lower alkylsulfeno,  
 (xxxxi) phosphono, and (xxxxii) di-lower alkoxyphosphoryl,  
 10 [3] 5- to 14-membered heterocyclic group which contains 1  
 to 6 heteroatoms selected from nitrogen, oxygen and sulfur  
 and which may be substituted by 1 to 5 substituents  
 selected from (1) halogen, (2) nitro, (3) cyano, (4) oxo,  
 (5) hydroxy, (6) lower alkyl, (7) lower alkoxy, (8) lower  
 15 alkylthio, (9) amino, (10) mono-lower alkylamino, (11) di-  
 lower alkylamino, (12) 5- to 7-membered cyclic amino which  
 may contain 1 to 3 heteroatoms selected from nitrogen,  
 oxygen and sulfur in addition to carbon atoms and one  
 nitrogen atom, (13) lower alkyl-carbonylamino, (14) lower  
 20 alkylsulfonylamino, (15) lower alkoxy-carbonyl, (16)  
 carboxy, (17) lower alkyl-carbonyl, (18) carbamoyl,  
 thiocarbamoyl, (19) mono-lower alkyl-carbamoyl, (20) di-  
 lower alkyl-carbamoyl, and (21) lower alkylsulfonyl, [4]  $R^2$   
 and  $R^3$  are taken together with the adjacent nitrogen atom  
 25 to form a 5- to 9-membered nitrogen-containing saturated

heterocyclic group which may contain 1 to 3 heteroatoms selected from nitrogen, oxygen and sulfur in addition to carbon atoms and one nitrogen atom (the heterocyclic group may be substituted by 1 to 5 substituents selected from (1) halogen, (2) nitro, (3) cyano, (4) oxo, (5) hydroxy, (6) lower alkyl, (7) lower alkoxy, (8) lower alkylthio, (9) amino, (10) mono-lower alkylamino, (11) di-lower alkylamino, (12) 5- to 7-membered cyclic amino which may contain 1 to 3 heteroatoms selected from nitrogen, oxygen and sulfur in addition to carbon atoms and one nitrogen atom, (13) lower alkyl-carbonylamino, (14) lower alkylsulfonylamino, (15) lower alkoxy-carbonyl, (16) carboxy, (17) lower alkyl-carbonyl, (18) carbamoyl, thiocarbamoyl, (19) mono-lower alkyl-carbamoyl, (20) di-lower alkyl-carbamoyl, and (21) lower alkylsulfonyl); or

(B) a 5- to 9-membered nitrogen-containing saturated heterocyclic group which may contain 1 to 3 heteroatoms selected from nitrogen, oxygen and sulfur in addition to carbon atoms and one nitrogen atom, wherein

said heterocyclic group may be substituted by 1 to 5 substituents selected from (1) halogen, (2) nitro, (3) cyano, (4) oxo, (5) hydroxy, (6) lower alkyl, (7) lower alkoxy, (8) lower alkylthio, (9) amino, (10) mono-lower alkylamino, (11) di-lower alkylamino, (12) 5- to 7-membered cyclic amino which may contain 1 to 3 heteroatoms selected

from nitrogen, oxygen and sulfur in addition to carbon atoms and one nitrogen atom, (13) lower alkyl-carbonylamino, (14) lower alkylsulfonylamino, (15) lower alkoxy-carbonyl, (16) carboxy, (17) lower alkyl-carbonyl, (18) carbamoyl, 5 thiocarbamoyl, (19) mono-lower alkyl-carbamoyl, (20) di-lower alkyl-carbamoyl, and (21) lower alkylsulfonyl,

the nitrogen atom in said nitrogen-containing saturated heterocyclic group may be substituted by (I) alkyl, alkenyl, alkynyl, cycloalkyl, crosslinked cyclic 10 lower saturated hydrocarbon group, aryl, aralkyl, aryl-alkenyl, aryl-C<sub>2-12</sub> alkynyl, cycloalkyl-alkyl or aryl-aryl-C<sub>1-10</sub> alkyl which may be substituted by 1 to 5 substituents selected from (i) halogen, (ii) nitro, (iii) cyano, (iv) oxo, (v) hydroxy, (vi) optionally halogenated lower alkyl, 15 (vii) optionally halogenated lower alkoxy, (viii) optionally halogenated lower alkylthio, (ix) amino, (x) mono-lower alkylamino, (xi) di-lower alkylamino, (xii) 5-to 7-membered cyclic amino which may contain 1 to 3 heteroatoms selected from nitrogen, oxygen and sulfur in 20 addition to carbon atoms and one nitrogen atom, (xiii) lower alkyl-carbonylamino, (xiv) lower alkylsulfonylamino, (xv) lower alkoxy-carbonyl, (xvi) carboxy, (xvii) lower alkyl-carbonyl, (xviii) carbamoyl, thiocarbamoyl, (xix) mono-lower alkyl-carbamoyl, (xx) di-lower alkyl-carbamoyl, 25 (xxi) lower alkylsulfonyl, (xxii) lower alkoxy-carbonyl-



lower alkyl, (xxiii) carboxy-lower alkyl, (xxiv) 5- to 14-membered heterocyclic group which contains 1 to 6 heteroatoms selected from nitrogen, oxygen and sulfur and which may be substituted by 1 to 5 substituents selected from (1) halogen, (2) nitro, (3) cyano, (4) oxo, (5) hydroxy, (6) lower alkyl, (7) lower alkoxy, (8) lower alkylthio, (9) amino, (10) mono-lower alkylamino, (11) di-lower alkylamino, (12) 5- to 7-membered cyclic amino which may contain 1 to 3 heteroatoms selected from nitrogen, oxygen and sulfur in addition to carbon atoms and one nitrogen atom, (13) lower alkyl-carbonylamino, (14) lower alkylsulfonylamino, (15) lower alkoxy-carbonyl, (16) carboxy, (17) lower alkyl-carbonyl, (18) carbamoyl, thiocarbamoyl, (19) mono-lower alkyl-carbamoyl, (20) di-lower alkyl-carbamoyl, and (21) lower alkylsulfonyl, (xxv) C<sub>6-14</sub> aryl, (xxvi) C<sub>7-16</sub> aralkyl, (xxvii) ureido, 3-methylureido, 3-ethylureido, 3-phenylureido, 3-(4-fluorophenyl)ureido, 3-(2-methylphenyl)ureido, 3-(4-methoxyphenyl)ureido, 3-(2,4-difluorophenyl)ureido, 3-[3,5-bis(trifluoromethyl)phenyl]ureido, 3-benzylureido, 3-(1-naphthyl)ureido, or 3-(2-biphenyl)ureido, (xxviii) thioureido, 3-methylthioureido, 3-ethylthioureido, 3-phenylthioureido, 3-(4-fluorophenyl)thioureido, 3-(4-methylphenyl)thioureido, 3-(4-methoxyphenyl)thioureido, 3-(2,4-dichlorophenyl)thioureido, 3-benzylthioureido, or 3-

(1-naphthyl)thioureido, (xxix) amidino, N<sup>1</sup>-methyamidino, N<sup>1</sup>-ethyamidino, N<sup>1</sup>-phenyamidino, N<sup>1</sup>,N<sup>1</sup>-dimethyamidino, N<sup>1</sup>,N<sup>2</sup>-dimethyamidino, N<sup>1</sup>-methyl-N<sup>1</sup>-ethyamidino, N<sup>1</sup>,N<sup>1</sup>-diethyamidino, N<sup>1</sup>-methyl-N<sup>1</sup>-phenyamidino, or N<sup>1</sup>,N<sup>1</sup>-di(4-nitrophenyl)amidino, (xxx) guanidino, 3-methylguanidino, 3,3-dimethylguanidino, or 3,3-diethylguanidino, (xxxi) pyrrolidinocarbonyl, piperidinocarbonyl, (4-methylpiperidino)carbonyl, (4-phenylpiperidino)carbonyl, (4-benzylpiperidino)carbonyl, (4-benzoylpiperidino)carbonyl, [4-(4-fluorobenzoyl)piperidino]carbonyl, (4-methylpiperazino)carbonyl, (4-phenylpiperazino)carbonyl, [4-(4-nitrophenyl)piperazino]carbonyl, (4-benzylpiperazino)carbonyl, morpholinocarbonyl, or thiomorpholinocarbonyl, (xxxii) aminothiocarbonyl, methylaminothiocarbonyl, or dimethylaminothiocarbonyl, (xxxiii) aminosulfonyl, methylaminosulfonyl, or dimethylaminosulfonyl, (xxxiv) phenylsulfonylamino, (4-methylphenyl)sulfonylamino, (4-chlorophenyl)sulfonylamino, (2,5-dichlorophenyl)sulfonylamino, (4-methoxyphenyl)sulfonylamino, (4-acetylamino)phenyl)sulfonylamino, or (4-nitrophenyl)phenylsulfonylamino, (xxxv) sulfo, (xxxvi) sulfino, (xxxvii) sulfeno, (xxxviii) lower alkylsulfo, (xxxix) lower alkylsulfino, (xxxx) lower alkylsulfeno, (xxxxi) phosphono, and (xxxxii) di-lower alkoxyphosphoryl,

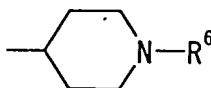
(II) acyl of the formula:  $-(C=O)-R^2$ ,  $-(C=O)-OR^2$ ,  $-(C=O)-NR^2R^3$ ,  $-SO_2-R^2$ ,  $-SO-R^2$ ,  $-(C=S)-OR^2$  or  $-(C=S)NR^2R^3$  (wherein  $R^2$  and  $R^3$  each is [1] hydrogen, or [2] alkyl, alkenyl, alkynyl, cycloalkyl, crosslinked cyclic lower saturated hydrocarbon group, aryl, aralkyl, aryl-alkenyl, aryl- $C_{2-12}$  alkynyl, cycloalkyl-alkyl or aryl-aryl- $C_{1-10}$  alkyl which may be substituted by 1 to 5 substituents selected from (i) halogen, (ii) nitro, (iii) cyano, (iv) oxo, (v) hydroxy, (vi) optionally halogenated lower alkyl, (vii) optionally halogenated lower alkoxy, (viii) optionally halogenated lower alkylthio, (ix) amino, (x) mono-lower alkylamino, (xi) di-lower alkylamino, (xii) 5- to 7-membered cyclic amino which may contain 1 to 3 heteroatoms selected from nitrogen, oxygen and sulfur in addition to carbon atoms and one nitrogen atom, (xiii) lower alkyl-carbonylamino, (xiv) lower alkylsulfonylamino, (xv) lower alkoxy-carbonyl, (xvi) carboxy, (xvii) lower alkyl-carbonyl, (xviii) carbamoyl, thiocarbamoyl, (xix) mono-lower alkyl-carbamoyl, (xx) di-lower alkyl-carbamoyl, (xxi) lower alkylsulfonyl, (xxii) lower alkoxy-carbonyl-lower alkyl, (xxiii) carboxy-lower alkyl, (xxiv) 5- to 14-membered heterocyclic group which contains 1 to 6 heteroatoms selected from nitrogen, oxygen and sulfur and which may be substituted by 1 to 5 substituents selected from (1) halogen, (2) nitro, (3) cyano, (4) oxo, (5) hydroxy, (6) lower alkyl, (7) lower

alkoxy, (8) lower alkylthio, (9) amino, (10) mono-lower  
 alkylamino, (11) di-lower alkylamino, (12) 5- to 7-membered  
 cyclic amino which may contain 1 to 3 heteroatoms selected  
 from nitrogen, oxygen and sulfur in addition to carbon  
 5 atoms and one nitrogen atom, (13) lower alkyl-carbonylamino,  
 (14) lower alkylsulfonylamino, (15) lower alkoxy-carbonyl,  
 (16) carboxy, (17) lower alkyl-carbonyl, (18) carbamoyl,  
 thiocarbamoyl, (19) mono-lower alkylcarbamoyl, (20) di-  
 lower alkyl-carbamoyl, and (21) lower alkylsulfonyl, (xxv)  
 10 C<sub>6-14</sub> aryl, (xxvi) C<sub>7-16</sub> aralkyl, (xxvii) ureido, 3-  
 methylureido, 3-ethylureido, 3-phenylureido, 3-(4-  
 fluorophenyl)ureido, 3-(2-methylphenyl)ureido, 3-(4-  
 methoxyphenyl)ureido, 3-(2,4-difluorophenyl)ureido, 3-[3,5-  
 bis(trifluoromethyl)phenyl]ureido, 3-benzylureido, 3-(1-  
 15 naphthyl)ureido, or 3-(2-biphenyl)ureido, (xxviii)  
 thioureido, 3-methylthioureido, 3-ethylthioureido, 3-  
 phenylthioureido, 3-(4-fluorophenyl)thioureido, 3-(4-  
 methylphenyl)thioureido, 3-(4-methoxyphenyl)thioureido, 3-  
 (2,4-dichlorophenyl)thioureido, 3-benzylthioureido, or 3-  
 20 (1-naphthyl)thioureido, (xxix) amidino, N<sup>1</sup>-methyramidino,  
 N<sup>1</sup>-ethylamidino, N<sup>1</sup>-phenylamidino, N<sup>1</sup>,N<sup>1</sup>-dimethyramidino,  
 N<sup>1</sup>,N<sup>2</sup>-dimethyramidino, N<sup>1</sup>-methyl-N<sup>1</sup>-ethylamidino, N<sup>1</sup>,N<sup>1</sup>-  
 diethylamidino, N<sup>1</sup>-methyl-N<sup>1</sup>-phenylamidino, or N<sup>1</sup>,N<sup>1</sup>-di(4-  
 nitrophenyl)amidino, (xxx) guanidino, 3-methylguanidino,  
 25 3,3-dimethylguanidino, or 3,3-diethylguanidino, (xxxi)

pyrrolidinocarbonyl, piperidinocarbonyl, (4-  
 methylpiperidino)carbonyl, (4-phenylpiperidino)carbonyl,  
 (4-benzylpiperidino)carbonyl, (4-benzoylpiperidino)carbonyl,  
 [4-(4-fluorobenzoyl)piperidino]carbonyl, (4-  
 5 methylpiperazino)carbonyl, (4-phenylpiperazino)carbonyl,  
 [4-(4-nitrophenyl)piperazino]carbonyl, (4-  
 benzylpiperazino)carbonyl, morpholinocarbonyl, or  
 thiomorpholinocarbonyl, (xxxii) aminothiocabonyl,  
 methylaminothiocabonyl, or dimethylaminothiocabonyl,  
 10 (xxxiii) aminosulfonyl, methylaminosulfonyl, or  
 dimethylaminosulfonyl, (xxxiv) phenylsulfonylamino, (4-  
 methylphenyl)sulfonylamino, (4-chlorophenyl)sulfonylamino,  
 (2,5-dichlorophenyl)sulfonylamino, (4-  
 methoxyphenyl)sulfonylamino, (4-  
 15 acetylaminophenyl)sulfonylamino, or (4-  
 nitrophenyl)phenylsulfonylamino, (xxxv) sulfo, (xxxvi)  
 sulfino, (xxxvii) sulfeno, (xxxviii) lower alkylsulfo,  
 (xxxix) lower alkylsulfino, (xxxx) lower alkylsulfeno,  
 (xxxxi) phosphono, and (xxxxii) di-lower  
 20 alkoxyphosphoryl, or  
 (III) 5- to 14-membered heterocyclic group which contains 1  
 to 6 heteroatoms selected from nitrogen, oxygen and sulfur  
 and which may be substituted by 1 to 5 substituents  
 selected from (1) halogen, (2) nitro, (3) cyano, (4) oxo,  
 25 (5) hydroxy, (6) lower alkyl, (7) lower alkoxy, (8) lower

alkylthio, (9) amino, (10) mono-lower alkylamino, (11) di-lower alkylamino, (12) 5- to 7-membered cyclic amino which may contain 1 to 3 heteroatoms selected from nitrogen, oxygen and sulfur in addition to carbon atoms and one  
 5 nitrogen atom, (13) lower alkyl-carbonylamino, (14) lower alkylsulfonylamino, (15) lower alkoxy-carbonyl, (16) carboxy, (17) lower alkyl-carbonyl, (18) carbamoyl, thiocarbamoyl, (19) mono-lower alkyl-carbamoyl, (20) di-lower alkyl-carbamoyl, and (21) lower alkylsulfonyl.

10 12. An agent according to claim 2, wherein Y is a group of the formula:



wherein R<sup>6</sup> is hydrogen, optionally substituted hydrocarbon group, acyl, or optionally substituted heterocyclic group.

15 13. An agent according to claim 12, wherein R<sup>6</sup> is (I) hydrogen or (II) alkyl, alkenyl, alkynyl, cycloalkyl, crosslinked cyclic lower saturated hydrocarbon group, aryl, aralkyl, aryl-alkenyl, aryl-C<sub>2-12</sub> alkynyl, cycloalkyl-alkyl or aryl-aryl-C<sub>1-10</sub> alkyl which may be substituted by 1 to 5  
 20 substituents selected from (i) halogen, (ii) nitro, (iii) cyano, (iv) oxo, (v) hydroxy, (vi) optionally halogenated lower alkyl, (vii) optionally halogenated lower alkoxy, (viii) optionally halogenated lower alkylthio, (ix) amino, (x) mono-lower alkylamino, (xi) di-lower alkylamino, (xii)

5- to 7-membered cyclic amino which may contain 1 to 3  
 heteroatoms selected from nitrogen, oxygen and sulfur in  
 addition to carbon atoms and one nitrogen atom, (xiii)  
 lower alkyl-carbonylamino, (xiv) lower alkylsulfonylamino,  
 5 (xv) lower alkoxy-carbonyl, (xvi) carboxy, (xvii) lower  
 alkyl-carbonyl, (xviii) carbamoyl, thiocarbamoyl, (xix)  
 mono-lower alkyl-carbamoyl, (xx) di-lower alkyl-carbamoyl,  
 (xxi) lower alkylsulfonyl, (xxii) lower alkoxy-carbonyl-  
 lower alkyl, (xxiii) carboxy-lower alkyl, (xxiv) a group  
 10 derived from a 5- to 14-membered heterocycle by removing  
 one hydrogen atom, which contains 1 to 6 heteroatoms  
 selected from nitrogen, oxygen and sulfur and which may be  
 substituted by 1 to 5 substituents selected from (1)  
 halogen, (2) nitro, (3) cyano, (4) oxo, (5) hydroxy, (6)  
 15 lower alkyl, (7) lower alkoxy, (8) lower alkylthio, (9)  
 amino, (10) mono-lower alkylamino, (11) di-lower alkylamino,  
 (12) 5- to 7-membered cyclic amino which may contain 1 to 3  
 heteroatoms selected from nitrogen, oxygen and sulfur in  
 addition to carbon atoms and one nitrogen atom, (13) lower  
 20 alkyl-carbonylamino, (14) lower alkylsulfonylamino, (15)  
 lower alkoxy-carbonyl, (16) carboxy, (17) lower alkyl-  
 carbonyl, (18) carbamoyl, (19) mono-lower alkyl-carbamoyl,  
 (20) di-lower alkyl-carbamoyl, and (21) lower alkylsulfonyl,  
 (xxv) C<sub>6-14</sub> aryl, (xxvi) C<sub>7-16</sub> aralkyl, (xxvii) ureido, 3-  
 25 methylureido, 3-ethylureido, 3-phenylureido, 3-(4-

fluorophenyl)ureido, 3-(2-methylphenyl)ureido, 3-(4-methoxyphenyl)ureido, 3-(2,4-difluorophenyl)ureido, 3-[3,5-bis(trifluoromethyl)phenyl]ureido, 3-benzylureido, 3-(1-naphthyl)ureido, or 3-(2-biphenyl)ureido, (xxviii)

5 thioureido, 3-methylthioureido, 3-ethylthioureido, 3-phenylthioureido, 3-(4-fluorophenyl)thioureido, 3-(4-methylphenyl)thioureido, 3-(4-methoxyphenyl)thioureido, 3-(2,4-dichlorophenyl)thioureido, 3-benzylthioureido, or 3-(1-naphthyl)thioureido, (xxix) amidino, N<sup>1</sup>-methyramidino,

10 N<sup>1</sup>-ethylamidino, N<sup>1</sup>-phenylamidino, N<sup>1</sup>,N<sup>1</sup>-dimethyramidino, N<sup>1</sup>,N<sup>2</sup>-dimethyramidino, N<sup>1</sup>-methyl-N<sup>1</sup>-ethylamidino, N<sup>1</sup>,N<sup>1</sup>-diethylamidino, N<sup>1</sup>-methyl-N<sup>1</sup>-phenylamidino, or N<sup>1</sup>,N<sup>1</sup>-di(4-nitrophenyl)amidino, (xxx) guanidino, 3-methylguanidino, 3,3-dimethylguanidino, or 3,3-diethylguanidino, (xxxi)

15 pyrrolidinocarbonyl, piperidinocarbonyl, (4-methylpiperidino)carbonyl, (4-phenylpiperidino)carbonyl, (4-benzylpiperidino)carbonyl, (4-benzoylpiperidino)carbonyl, [4-(4-fluorobenzoyl)piperidino]carbonyl, (4-methylpiperazino)carbonyl, (4-phenylpiperazino)carbonyl,

20 [4-(4-nitrophenyl)piperazino]carbonyl, (4-benzylpiperazino)carbonyl, morpholinocarbonyl, or thiomorpholinocarbonyl, (xxxii) aminothiocarbonyl, methylaminothiocarbonyl, or dimethylaminothiocarbonyl, (xxxiii) aminosulfonyl, methylaminosulfonyl, or

25 dimethylaminosulfonyl, (xxxiv) phenylsulfonylamino, (4-



methylphenyl)sulfonylamino, (4-chlorophenyl)sulfonylamino,  
 (2,5-dichlorophenyl)sulfonylamino, (4-  
 methoxyphenyl)sulfonylamino, (4-  
 acetylamino)phenyl)sulfonylamino, or (4-  
 5 nitrophenyl)phenylsulfonylamino, (xxxv) sulfo, (xxxvi)  
 sulfino, (xxxvii) sulfeno, (xxxviii) lower alkylsulfo,  
 (xxxix) lower alkylsulfino, (xxxx) lower alkylsulfeno,  
 (xxxxi) phosphono, and (xxxxii) di-lower alkoxyphosphoryl,  
 (III) acyl of the formula:  $-(C=O)-R^2$ ,  $-(C=O)-OR^2$ ,  $-(C=O)-$   
 10  $NR^2R^3$ ,  $-SO_2-R^2$ ,  $-SO-R^2$ ,  $-(C=S)-OR^2$  or  $-(C=S)NR^2R^3$  (wherein  $R^2$   
 and  $R^3$  each is [1] hydrogen, [2] alkyl, alkenyl, alkynyl,  
 cycloalkyl, crosslinked cyclic lower saturated hydrocarbon  
 group, aryl, aralkyl, aryl-alkenyl, aryl- $C_{2-12}$  alkynyl,  
 cycloalkyl-alkyl or aryl-aryl- $C_{1-10}$  alkyl which may be  
 15 substituted by 1 to 5 substituents selected from (i)  
 halogen, (ii) nitro, (iii) cyano, (iv) oxo, (v) hydroxy,  
 (vi) optionally halogenated lower alkyl, (vii) optionally  
 halogenated lower alkoxy, (viii) optionally halogenated  
 lower alkylthio, (ix) amino, (x) mono-lower alkylamino,  
 20 (xi) di-lower alkylamino, (xii) 5- to 7-membered cyclic  
 amino which may contain 1 to 3 heteroatoms selected from  
 nitrogen, oxygen and sulfur in addition to carbon atoms and  
 one nitrogen atom, (xiii) lower alkyl-carbonylamino, (xiv)  
 lower alkyl-sulfonylamino, (xv) lower alkoxy-carbonyl,  
 25 (xvi) carboxy, (xvii) lower alkyl-carbonyl, (xviii)

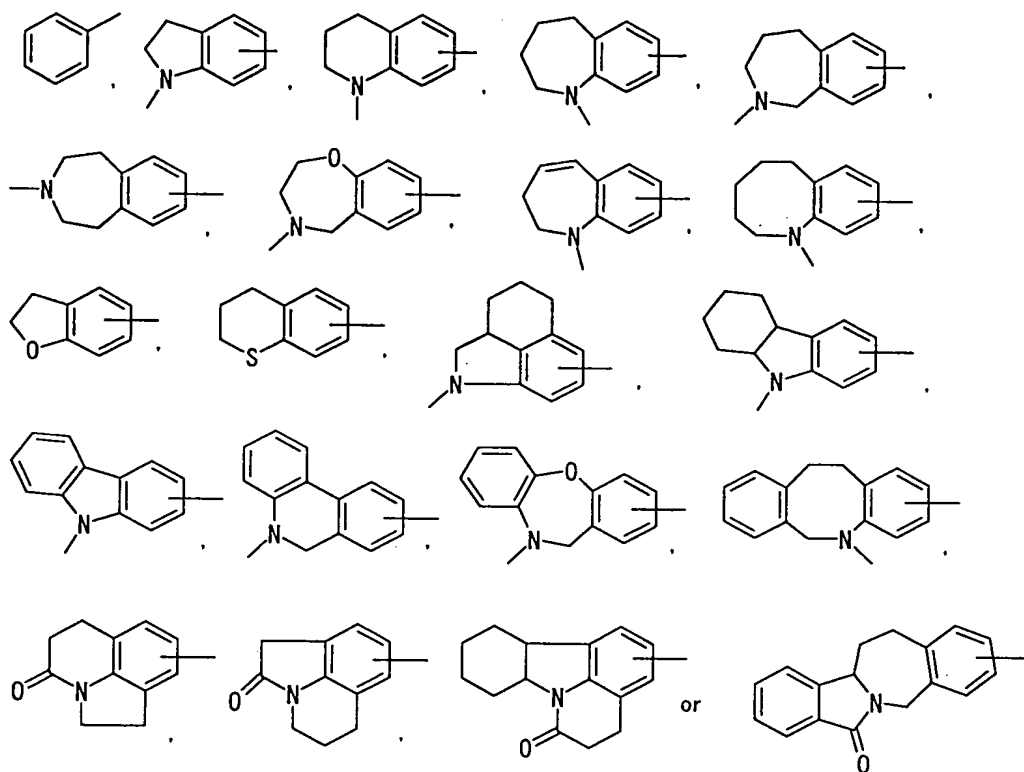
carbamoyl, thiocarbamoyl, (xix) mono-lower alkyl-carbamoyl,  
 (xx) di-lower alkyl-carbamoyl, (xxi) lower alkylsulfonyl,  
 (xxii) lower alkoxy-carbonyl-lower alkyl, (xxiii) carboxy-  
 lower alkyl, (xxiv) a group derived from 5- to 14-membered  
 5 heterocycle by removing one hydrogen atom, which contains 1  
 to 6 heteroatoms selected from nitrogen, oxygen and sulfur  
 and which may be substituted by 1 to 5 substituents  
 selected from (1) halogen, (2) nitro, (3) cyano, (4) oxo,  
 (5) hydroxy, (6) lower alkyl, (7) lower alkoxy, (8) lower  
 10 alkylthio, (9) amino, (10) mono-lower alkylamino, (11) di-  
 lower alkylamino, (12) 5- to 7-membered cyclic amino which  
 may contain 1 to 3 heteroatoms selected from nitrogen,  
 oxygen and sulfur in addition to carbon atoms and one  
 nitrogen atom, (13) lower alkyl-carbonylamino, (14) lower  
 15 alkylsulfonylamino, (15) lower alkoxy-carbonyl, (16)  
 carboxy, (17) lower alkyl-carbonyl, (18) carbamoyl,  
 thiocarbamoyl, (19) mono-lower alkyl-carbamoyl, (20) di-  
 lower alkyl-carbamoyl, and (21) lower alkylsulfonyl, (xxv)  
 C<sub>6-14</sub> aryl, (xxvi) C<sub>7-16</sub> aralkyl, (xxvii) ureido, 3-  
 20 methylureido, 3-ethylureido, 3-phenylureido, 3-(4-  
 fluorophenyl)ureido, 3-(2-methylphenyl)ureido, 3-(4-  
 methoxyphenyl)ureido, 3-(2,4-difluorophenyl)ureido, 3-[3,5-  
 bis(trifluoromethyl)phenyl]-ureido, 3-benzylureido, 3-(1-  
 naphthyl)ureido, or 3-(2-biphenyl)ureido, (xxviii)  
 25 thioureido, 3-methylthioureido, 3-ethylthioureido, 3-

- phenylthioureido, 3-(4-fluorophenyl)thioureido, 3-(4-methylphenyl)thioureido, 3-(4-methoxyphenyl)thioureido, 3-(2,4-dichlorophenyl)thioureido, 3-benzylthioureido, or 3-(1-naphthyl)thioureido, (xxix) amindino, N<sup>1</sup>-methyramidino, 5. N<sup>1</sup>-ethylamidino, N<sup>1</sup>-phenylamidino, N<sup>1</sup>,N<sup>1</sup>-dimethyramidino, N<sup>1</sup>,N<sup>2</sup>-dimethyramidino, N<sup>1</sup>-methyl-N<sup>1</sup>-ethyl-amidino, N<sup>1</sup>,N<sup>1</sup>-diethylamidino, N<sup>1</sup>-methyl-N<sup>1</sup>-phenylamidino, or N<sup>1</sup>,N<sup>1</sup>-di(4-nitrophenyl)amidino, (xxx) guanidino, 3-methylguanidino, 3,3-dimethylguanidino, or 3,3-diethylguanidino, (xxxi)
- 10 pyrrolidinocarbonyl, piperidinocarbonyl, (4-methylpiperidino)carbonyl, (4-phenylpiperidino)carbonyl, (4-benzylpiperidino)carbonyl, (4-benzoylpiperidino)carbonyl, [4-(4-fluorobenzoyl)piperidino]carbonyl, (4-methylpiperazino)carbonyl, (4-phenylpiperazino)carbonyl, [4-(4-
- 15 nitrophenyl)piperazino]carbonyl, (4-benzylpiperazino)-carbonyl, morpholinocarbonyl, or thiomorpholinocarbonyl, (xxxii) aminothiocabonyl, methylaminothiocabonyl, or dimethylaminothiocabonyl, (xxxiii) aminosulfonyl, methylaminosulfonyl, or dimethylaminosulfonyl, (xxxiv)
- 20 phenylsulfonylamino, (4-methylphenyl)sulfonylamino, (4-chlorophenyl)sulfonylamino, (2,5-dichlorophenyl)sulfonylamino, (4-methoxyphenyl)sulfonylamino, (4-acetylamino-phenyl)sulfonylamino, or (4-nitrophenyl)phenylsulfonylamino, (xxxv) sulfo, (xxxvi) sulfino, (xxxvii) sulfeno, (xxxviii)
- 25 lower alkylsulfo, (xxxix) lower alkylsulfino, (xxxx) lower

alkylsulfeno, (xxxxi) phosphono, and (xxxixii) di-lower alkoxyphosphoryl, or

- (IV) a group derived from a 5- to 14-membered heterocycle by removing one hydrogen atom, which contains 1 to 6
- 5 heteroatoms selected from nitrogen, oxygen and sulfur and which may be substituted by 1 to 5 substituents selected from (1) halogen, (2) nitro, (3) cyano, (4) oxo, (5) hydroxy, (6) lower alkyl, (7) lower alkoxy, (8) lower alkylthio, (9) amino, (10) mono-lower alkylamino, (11) di-
- 10 lower alkylamino, (12) 5- to 7-membered cyclic amino which may contain 1 to 3 heteroatoms selected from nitrogen, oxygen and sulfur in addition to carbon atoms and one nitrogen atom, (13) lower alkyl-carbonylamino, (14) lower alkylsulfonylamino, (15) lower alkoxy-carbonyl, (16)
- 15 carboxy, (17) lower alkyl-carbonyl, (18) carbamoyl, thiocarbamoyl, (19) mono-lower alkyl-carbamoyl, (20) di-lower alkyl-carbamoyl, and (21) lower alkylsulfonyl.

14. An agent according to claim 2, wherein Ar is a group of the formula:



and when Ar is phenyl, the phenyl may be substituted by  
 substituent(s) selected from (1) halogen, (2) C<sub>1-6</sub> alkoxy,  
 (3) amino, (4) mono- or di-C<sub>1-6</sub> alkylamino, (5) pyrrolidino,  
 5 (6) piperidino, (7) piperazino, (8) N-methylpiperazino, (9)  
 N-acetylpiperazino, (10) morpholino, (11) hexamethylenimino,  
 (12) imidazolyl, and (13) C<sub>1-6</sub> alkyl which may be  
 substituted by a carboxy optionally esterified by C<sub>1-6</sub>  
 alkyl;

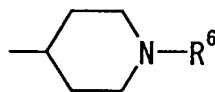
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when Ar is condensed phenyl, its heterocyclic  
 portion may be substituted by substituent(s) selected from  
 (1) C<sub>1-6</sub> alkyl, (2) C<sub>7-16</sub> aralkyl which may be substituted by  
 substituent(s) selected from halogen, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy  
 and nitro, (3) C<sub>1-6</sub> alkyl-carbonyl, (4) C<sub>7-16</sub> aralkyl-

carbonyl, (5) C<sub>6-14</sub> aryl-carbonyl, (6) C<sub>1-6</sub> alkyl-carbonyl-C<sub>6-14</sub> aryl, (7) C<sub>1-6</sub> alkoxy-carbonyl-C<sub>6-14</sub> aryl and (8) pyridyl;  
n is 2;

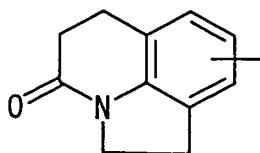
R is hydrogen; and

5 Y is a group of the formula:



wherein R<sup>6</sup> is (1) hydrogen, (2) C<sub>1-6</sub> alkyl which may have a substituent or substituents selected from cyano, hydroxy, mono- or di-C<sub>1-6</sub> alkylamino, pyridyl, and carboxy optionally esterified, (3) C<sub>7-16</sub> aralkyl which may be substituted by substituent(s) selected from halogen, C<sub>1-6</sub> alkyl, halogeno C<sub>1-6</sub> alkyl, hydroxy, C<sub>1-6</sub> alkoxy, nitro, amino, cyano, carbamoyl, C<sub>1-6</sub> alkoxy optionally substituted by carboxy which may be esterified, carbamoyl optionally substituted by C<sub>1-6</sub> alkyl or amino optionally substituted by formyl, and C<sub>1-3</sub> alkylenedioxy, (4) C<sub>1-6</sub> alkyl which may be substituted by carboxy optionally esterified, or (5) C<sub>1-6</sub> alkyl-carbonyl optionally substituted by mono- or di-C<sub>1-6</sub> alkylamino.

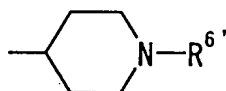
15. An agent according to claim 2, wherein Ar is  
20 a group of the formula:



n is 2;

R is hydrogen; and

Y is a group of the formula:



wherein R<sup>6'</sup> is benzyl which may be substituted by 1 or 2  
 5 substituents selected from halogen, C<sub>1-3</sub> alkyl, C<sub>1-3</sub> alkoxy, cyano, nitro and hydroxy.

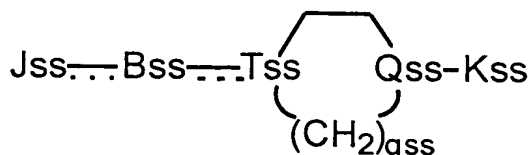
16. An agent according to claim 1, which comprises:

8-[3-[1-[(3-fluorophenyl)methyl]-4-piperidinyl]-  
 10 1-oxopropyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-  
 ij]quinolin-4-one;

8-[3-[1-(phenylmethyl)-4-piperidinyl]-1-  
 oxopropyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-  
 4-one; and

15 8-[3-[1-[(2-hydroxyphenyl)methyl]-4-piperidinyl]-  
 1-oxopropyl]-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-  
 ij]quinolin-4-one;  
 or a salt thereof.

17. An agent according to claim 1, wherein the  
 20 amine compound is a compound of the formula:

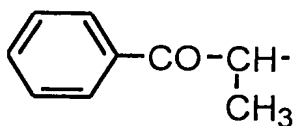


wherein Jss is (a) the following substituted or

unsubstituted group: (1) phenyl, (2) pyridyl, (3) pyrazyl, (4) quinolyl, (5) cyclohexyl, (6) quinoxalyl, or (7) furyl,

(b) a monovalent or divalent group selected from the following group, of which the phenyl moiety may be

5 substituted: (1) indanyl, (2) indanonyl, (3) indenyl, (4) indenonyl, (5) indanedionyl, (6) tetralonyl, (7) benzsuberonyl, (8) indanoly, or (9) a group of the formula:



10 (c) a monovalent group derived from a cyclic amide compound, (d) lower alkyl, or (e) a group of the formula  $R_{1ss}-CH=CH-$  (where  $R_{1ss}$  is hydrogen or lower alkoxy carbonyl);

Bss is a group of the formula:  $-(CHR_{2ss})nss-$ , a group of the formula:  $-CO-(CHR_{2ss})nss-$ , a group of the formula:  $-NR_{3ss}-(CHR_{2ss})nss-$  (where  $R_{3ss}$  is hydrogen, lower alkyl, acyl, lower alkylsulfonyl, optionally substituted phenyl or benzyl), a group of the formula:  $-CO-NR_{4ss}-(CHR_{2ss})nss-$  (where  $R_{4ss}$  is hydrogen, lower alkyl or phenyl), 15 a group of the formula:  $-CH=CH-(CHR_{2ss})nss-$ , a group of the formula:  $-O-COO-(CHR_{2ss})nss-$ , a group of the formula:  $-O-CO-NH-(CHR_{2ss})nss-$ , a group of the formula:  $-NH-CO-(CHR_{2ss})nss-$ , 20 a group of the formula:  $-CH_2-CO-NH-(CHR_{2ss})nss-$ , a group of



the formula:  $-(CH_2)_2-CO-NH-(CHR_{2ss})nss-$ , a group of the  
 formula:  $-C(OH)H-(CHR_{2ss})nss-$  (in the above formulae,  $nss$   
 indicates 0 or an integer of 1 - 10;  $R_{2ss}$  means hydrogen or  
 methyl when the alkylene of the formula  $-(CHR_{2ss})nss-$  has no  
 5 substituent or it has 1 or more of methyl), a group of the  
 formula:  $=(CH-CH=CH)bss-$  (where  $bss$  is an integer of 1 - 3),  
 a group of the formula:  $=CH-(CH_2)css-$  (where  $css$  is 0 or an  
 integer of 1 - 9), a group of the formula:  $=(CH-CH)dss=$   
 (where  $dss$  is 0 or an integer of 1 - 5), a group of the  
 10 formula:  $-CO-CH=CH-CH_2-$ , a group of the formula:  $-CO-CH_2-$   
 $C(OH)H-CH_2-$ , a group of the formula:  $-C(CH_3)H-CO-NH-CH_2-$ , a  
 group of the formula:  $-CH=CH-CO-NH-(CH_2)_2-$ , a group of the  
 formula:  $-NH-$ , a group of the formula:  $-O-$ , a group of the  
 formula:  $-S-$ , dialkylaminoalkylcarbonyl or lower  
 15 alkoxycarbonyl;

$Tss$  is nitrogen or carbon;

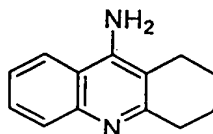
$Qss$  is nitrogen, carbon or a group of the formula  
 $>N \rightarrow O$ ;

$Kss$  is hydrogen, substituted or unsubstituted  
 20 phenyl, arylalkyl of which the phenyl moiety may be  
 substituted, cinnamyl of which the phenyl moiety may be  
 substituted, lower alkyl, pyridylmethyl, cycloalkylalkyl,  
 adamantanemethyl, furylmethyl, cycloalkyl, lower  
 alkoxycarbonyl or acyl;

25  $qss$  is an integer of 1 - 3;

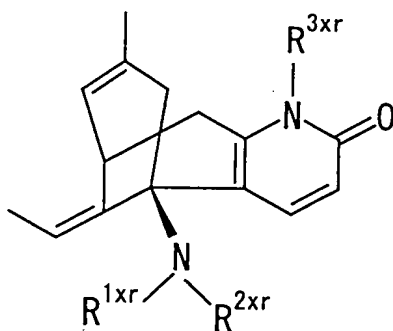
--- indicates a single bond or double bond;  
or a salt thereof.

18. An agent according to claim 1, wherein the  
amine compound is 9-amino-1,2,3,4-tetrahydroacridine of the  
5 formula:



or a salt thereof.

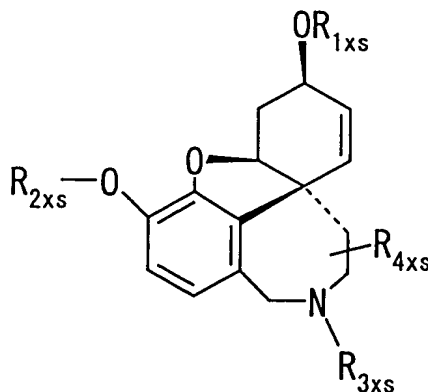
19. An agent according to claim 1, wherein the  
amine compound is a compound of the formula:



10

wherein  $R^{1xr}$ ,  $R^{2xr}$  and  $R^{3xr}$  each is hydrogen or lower alkyl;  
or a salt thereof.

20. An agent according to claim 1, wherein the  
amine compound is galanthamine derivatives of the formula:



wherein  $R_{1xs}$  and  $R_{2xs}$  are the same or different, each representing hydrogen or acyl, or straight or branched alkyl;

5                     $R_{3xs}$  is straight or branched alkyl, alkenyl or alkaryl, and these groups may be replaced optionally by halogen, cycloalkyl, hydroxy, alkoxy, nitro, amino, aminoalkyl, acylamino, heteroaryl, heteroaryl-alkyl, aroyl, aroylalkyl, or cyano;

10                    $R_{4xs}$  means hydrogen or halogen attached to at least one of carbon atoms that constitute the tetra-cyclic skeletal structure;  
or a salt thereof.

21. An agent according to claim 1 which is a  
15 therapeutic agent for dysuria.

22. An agent according to claim 1 which is a therapeutic agent for difficulty of urination.

23. An agent for improving excretory potency of the urinary bladder which comprises a combination of an  $\alpha$ -

blocker and an amine compound of non-carbamate-type having an acetylcholinesterase-inhibiting action.

24. Use of an amine compound of non-carbamate-type having an acetylcholinesterase-inhibiting action for  
5 production of an agent for improving excretory potency of the urinary bladder.

25. A method for improving excretory potency of the urinary bladder which comprises administering an amine  
compound of non-carbamate-type having an  
10 acetylcholinesterase-inhibiting action.